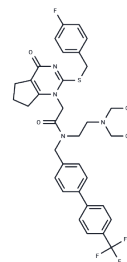


Darapladib

Chemical Properties

CAS No. :	356057-34-6
Formula:	C ₃₆ H ₃₈ F ₄ N ₄ O ₂ S
Molecular Weight:	666.77
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Darapladib (SB-480848) is a lipoprotein-associated phospholipase A2 (Lp-PLA2) inhibitor with an IC ₅₀ value of 0.25 nM, which can exert cardiovascular protective effects [1,3].
Targets(IC50)	Apoptosis, Phospholipase
In vitro	METHODS: Bone marrow-derived macrophages (BMDM) were primed with LPS (100 ng/mL) for 3 h, then treated with 100 nM Darapladib (SB-480848) for 1 h, followed by Ang II (100 nmol/L) treatment for the indicated times. RESULTS Darapladib (SB-480848) attenuated Ang II-induced NLRP3 inflammasome activation and IL-1 β production in cardiac macrophages. [3]
In vivo	METHODS: Atherosclerotic Sprague-Dawley rats were fed a high-cholesterol diet for 10 weeks, followed by oral administration of low-dose Darapladib (SB-480848) (25 mg·kg ⁻¹ ·d ⁻¹) and high-dose Darapladib (SB-480848) (50 mg·kg ⁻¹ ·d ⁻¹) intervention. RESULTS Serum triglycerides, total cholesterol (TC), low-density lipoprotein cholesterol (LDL-C), high-density lipoprotein cholesterol (HDL-C), high-sensitivity C-reactive protein (hs-CRP) in the atherosclerosis model group) and Lp-PLA2 levels were significantly increased, Rho kinase activity and cardiomyocyte apoptosis were also significantly increased (p<0.05 vs. sham operation group), while nitric oxide (NO) production was decreased; Darapladib (SB-480848) TC, LDL-C, CRP, Lp-PLA2, and Rho kinase activities were reduced in each group, and NO production was increased; compared with the low-dose Darapladib (SB-480848) group, TC, LDL-C, CRP, and Lp-PLA2 decreased more significantly (p<0.05), and NO production increased more significantly (p<0.05). Compared with the low-dose Darapladib (SB-480848) group, cardiomyocyte apoptosis in the high-dose Darapladib (SB-480848) group was also significantly reduced (p<0.05). However, there was no significant difference in Rho kinase activity between the low-dose Darapladib (SB-480848) group and the high-dose Darapladib (SB-480848) group (p>0.05). [2]

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 123.75 mg/mL (185.6 mM), Sonication is recommended. Ethanol: 93 mg/mL (139.48 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (6 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4998 mL	7.4988 mL	14.9977 mL
5 mM	0.300 mL	1.4998 mL	2.9995 mL
10 mM	0.150 mL	0.7499 mL	1.4998 mL
50 mM	0.030 mL	0.150 mL	0.300 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Blackie JA, et al. The identification of clinical candidate SB-480848: a potent inhibitor of lipoprotein-associated phospholipase A2. *Bioorg Med Chem Lett.* 2003 Mar 24;13(6):1067-70.
- Zhang J, et al. Darapladib, a Lipoprotein-Associated Phospholipase A2 Inhibitor, Reduces Rho Kinase Activity in Atherosclerosis. *Yonsei Med J.* 2016 Mar;57(2):321-7.
- Acharya NK, et al. *J Alzheimers Dis.* 2013, 35(1), 179-198.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481